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=> s vla?(l)piperidin?
          7112 VLA?
         87951 PIPERIDIN?
L3          22 VLA?(L)PIPERIDIN?

=> d bib abs 1-22

L3   ANSWER 1 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2003:951018  CAPLUS
DN   140:16962
TI   Preparation of heterocyclic amino acid compounds which inhibit leukocyte
     adhesion mediated by α4 integrins
IN   Konradi, Andrei W.; Semko, Christopher M.; Xu, Ying-Zi; Stappenbeck,
     Frank; Stupi, Brian P.; Smith, Jenifer; Thorsett, Eugene D.
PA   Elan Pharmaceuticals, Inc., USA
SO   PCT Int. Appl., 70 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND  DATE        APPLICATION NO.        DATE
     ---------------     ----  --------    --------------------   --------
PI   WO 2003099809        A1    20031204    WO 2003-US16804        20030527
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2004138243        A1    20040715    US 2003-447308         20030527
PRAI US 2002-383020P      P     20020524
OS   MARPAT 140:16962
GI
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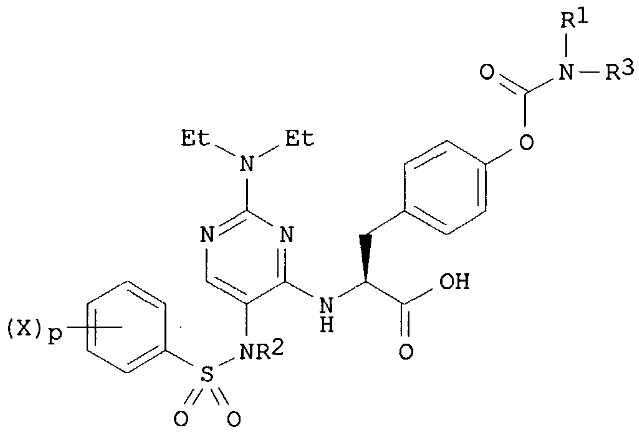

I

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AB   Disclosed are pyrimidinyl amino acid derivs. I (X is F, Cl, or Br; p is
     0-3; NR1R3 are azetidinyl, pyrrolidinyl, pyrrolyl, 2,5-dihydro-1-pyrrolyl,
     piperidinyl, 1,2,3,6-tetrahydro-1-pyridinyl; R2 is alkyl, alkenyl,
     or alkylenecycloalkyl) which bind α4 integrins, preferably
     VLA-4, inhibit leukocyte adhesion, and are useful in the treatment
     of inflammatory diseases.  Thus, I (NR1R3 = pyrrolyl; R2 = Et; Xp = 4-Cl)
     was prepared by reaction of tyrosine tert-Bu ester with 2,4-dichloro-5-
     nitropyrimidine and Et2NH, followed by carbamoylation, catalytic
     hydrogenation, sulfonylation, N-ethylation, and ester cleavage reactions.
     The product showed IC50 = 0.011 μg/mL in the fibronectin cell adhesion
     assay.
RE.CNT  1      THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 2 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2003:777794  CAPLUS
DN   139:276811
TI   Preparation of spiro heterocyclic derivatives and adhesion molecule
     inhibitors comprising the same
IN   Ishigaki, Takeshi; Taniguchi, Koji; Kainoh, Mie; Meguro, Hiroyuki;
     Ishizaka, Yoko
PA   Toray Industries, Inc., Japan
SO   PCT Int. Appl., 132 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 1
     PATENT NO.          KIND   DATE       APPLICATION NO.       DATE
     ---------------     ----   --------   --------------------  --------
PI   WO 2003080611        A1    20031002   WO 2003-JP3324        20030319
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI JP 2002-80697        A     20020322
OS   MARPAT 139:276811
GI
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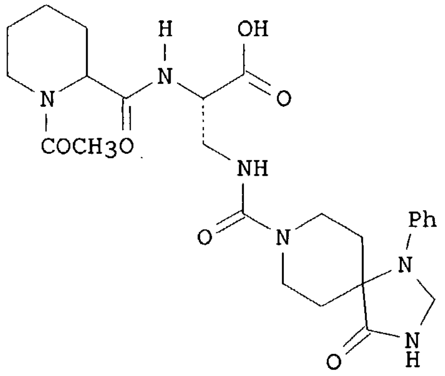

I

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AB   The patent relates to the preparation of spiro derivs. similar to I, which have
     an excellent effect of inhibiting cell adhesion mediated by adhesion
     mols., in particular, adhesion mol. VLA-4 and, therefore, are
     useful as remedies for various inflammatory diseases.  The adhesion mol.
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inhibitors are useful in preventing and treating inflammatory diseases caused by the infiltration of leukocytes such as monocytes, lymphocytes and eosinophils by inhibiting cell infiltration mediated by adhesion mols., in particular, adhesion mol. **VLA**-4. Thus, 2-[(1-acetyl-**piperidin**-2-yl)carbonylamino]-3-[(2,4,8-triaza-1-oxo-4-phenylspiro[4.5]dec-8-yl)carbonylamino]propanoic acid (I), prepared by hydrolysis of the corresponding Me ester which was made by reacting 1-acetyl-**piperidin**-2-carboxylic acid with 2-[(tert-butoxy)carbonylamino]-3-[(2,4,8-triaza-1-oxo-4-phenylspiro[4.5]dec-8-yl)carbonylamino]propanoic acid Me ester, was tested for inhibiting adhesion mol. **VLA**-4 and had IC50 of 65 nM.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:162644 CAPLUS
DN 139:78409
TI N-(3-Phenylsulfonyl-3-**piperidinoyl**)-phenylalanine derivatives as potent, selective **VLA**-4 antagonists
AU Gutteridge, Clare E.; de Laszlo, Stephen E.; Kamenecka, Theodore M.; McCauley, Ermengilda; van Riper, Gail; Mumford, Richard A.; Kidambi, Usha; Egger, Linda A.; Tong, Sharon; Hagmann, William K.
CS Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(5), 885-890
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB The SAR of 1-sulfonyl-cyclopentyl carboxylic acid amides, ligands for the **VLA**-4 integrin, was investigated. This effort resulted in the identification of N-(3-phenylsulfonyl-3-**piperidinoyl**)-(L)-4-(2',6'-dimethoxyphenyl)phenylalanine as a potent, selective **VLA**-4 antagonist (IC50 = 90 pM). Expansion of the SAR demonstrated that this structural unit can be used to identify a diverse series of sub-nanomolar antagonists.
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:942792 CAPLUS
DN 138:24953
TI Preparation of N-sulfonylated phenylalanine dipeptide derivatives as inhibitors of leukocyte adhesion mediated by VLA-4
IN Thorsett, Eugene D.; Semko, Christopher M.; Sarantakis, Dimitrios; Pleiss, Michael A.; Lombardo, Louis John; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.; Baudy, Reinhardt Bernhard; Ashwell, Susan
PA Athena Neurosciences, Inc., USA; American Home Products Corp.
SO U.S., 71 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6492421	B1	20021210	US 1998-126095	19980730
PRAI	US 1997-104599P	P	19970731		

OS MARPAT 138:24953
AB Disclosed are title dipeptides R1SO2NR2CHR3-Q-CHR5CO2H [R1, R3 = (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl or heteroaryl; R2 = H, (un)substituted cycloalkenyl, or any group given for R1; or R2 may form

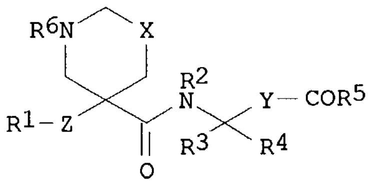
an (un)substituted heterocyclic ring with R1 or R3; R5 = (CH2)x-Ar-R5'; R5' = alkylcarbonylamino, alkoxyaryl, (hetero)aryl, alkylamino, alkenyl, alkoxyheterocyclyl, etc.; x = 1-4; Ar = (un)substituted (hetero)aryl; Q = C(X)NR7; R7 = H, alkyl; X = O, S (with provisos)] which bind VLA-4 (also referred to as α4β1 integrin and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, such as asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, condensation of N-tosyl-L-prolyl-4-amino-L-phenylalanine Me ester with N-(tert-butoxycarbonyl)glycine afforded N-tosyl-L-prolyl-4-[(N-tert-butoxycarbonylglycyl)amino]-L-phenylalanine.

RE.CNT 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:444493 CAPLUS
DN 137:20601
TI Preparation of substituted nipecotyl derivatives as inhibitors of cell adhesion
IN De Laszlo, Stephen E.; Gutteridge, Clare E.; Hagmann, William K.; Kamenecka, Theodore M.
PA Merck & Co., Inc., USA
SO U.S., 38 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6403584	B1	20020611	US 2001-882319	20010615
PRAI	US 2000-213155P	P	20000622		

OS MARPAT 137:20601
GI



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AB 3-Substituted 3-**piperidinecarboxamides** I [X = (CH2)0-3; Y = a bond, CH2 or substituted methylene; Z = S, SO, SO2; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, an amino group or Cy [Cy = (hetero)cycloalkyl or (hetero)aryl (Ar)]; R2, R4 = H, (un)substituted alkyl, alkenyl or alkynyl; R3 = (un)substituted alkyl, Ar1, Ar1-alkyl, Ar1-Ar2 or Ar1-Ar2-alkyl; R5 = OH, alkoxy, alkenyloxy, alkynyloxy, CyO, carboxamido, etc.; R6 = H, alkyl, alkenyl, alkynyl, Cy, carboxamido, etc.] or **piperidine** ring-substituted derivs. were prepared as antagonists of **VLA**-4 and/or α4β7 and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-[3-(phenylthio)nipecotyl]-4-(2-methoxyphenyl)-L-phenylalanine was prepared from N-Boc-DL-3-(phenylthio)nipecotic acid Et ester (Boc = tert-butoxycarbonyl) by sequential saponification, coupling with 4-(2-methoxyphenyl)-L-phenylalanine

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     tert-Bu ester, flash column purification, and deprotection.
RE.CNT  2       THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
                ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 6 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:407971  CAPLUS
DN   138:66143
TI   Discovery and evaluation of N-(triazin-1,3,5-yl) phenylalanine derivatives
     as VLA-4 integrin antagonists
AU   Porter, John R.; Archibald, Sarah C.; Brown, Julien A.; Childs, Kirstie;
     Critchley, David; Head, John C.; Hutchinson, Brian; Parton, Ted A. H.;
     Robinson, Martyn K.; Shock, Anthony; Warrellow, Graham J.; Zomaya, Alex
CS   Celltech R&D Ltd, Slough, SL1 4EN, UK
SO   Bioorganic & Medicinal Chemistry Letters (2002), 12(12), 1591-1594
     CODEN: BMCLE8; ISSN: 0960-894X
PB   Elsevier Science Ltd.
DT   Journal
LA   English
OS   CASREACT 138:66143
AB   Structure-activity relationship (SAR) studies aimed at improving the rate
     of clearance of a series of VLA-4 integrin antagonists by the introduction
     of a 1,3,5-triazine as an amide isostere are described.
RE.CNT  7       THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
                ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 7 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:240774  CAPLUS
DN   136:279698
TI   Preparation of 2-amino-3-[(triazaspiroalkanecarbonyl)amino]propanoic acid
     derivatives as adhesion molecule inhibitors
IN   Takahashi, Toshiya; Ishigaki, Takeshi; Funahashi, Miyuki; Taniguchi, Koji;
     Kaneko, Masayuki; Kainoh, Mie; Meguro, Hiroyuki
PA   Toray Industries, Inc., Japan
SO   PCT Int. Appl., 103 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 1
     PATENT NO.          KIND   DATE       APPLICATION NO.       DATE
     ---------------     ----   --------   --------------------  --------
PI   WO 2002024697        A1    20020328   WO 2001-JP8290        20010925
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2001088114        A5    20020402   AU 2001-88114         20010925
     CA 2423007           AA    20030324   CA 2001-2423007       20010925
     EP 1329451           A1    20030723   EP 2001-967819        20010925
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     US 2004087574        A1    20040506   US 2003-381367        20030325
PRAI JP 2000-289658       A     20000925
     WO 2001-JP8290       W     20010925
OS   MARPAT 136:279698
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

AB   The title compds. [I; p, m = 0-2; n = 1-3; A = O, C, N (provided that when
     A is O, R3 is absent); B = C, N; C' = D = H or C' and D are combined
     together to represent CO; X1, Y1 = H, halo, C1-8 alkyl, C1-8 alkoxy,
     cyano, NO2, HO, NH2, tetrazolyl; R1, R2 = H, C1-6 n-alkyl; R3, R4 = H,
     C1-6 n-alkyl, C3-8 branched alkyl, (un)substituted Ph or benzyl; F = CH2,
     CO; when A is N atom, R3, A, and R4 are combined together to represent Q,
     Q1, Q2, Q3; wherein p = 0-4; E = C, N; R6, R7 = H, C1-6 n-alkyl, C3-8
     branched alkyl, C1-6 n-alkylacyl, C3-8 branched alkylacyl,
     pyrrolidinecarbonyl, piperidinecarbonyl, (un)substituted Ph,
     PhSO2, benzoyl, benzyl, indolyl, N-phenylamido; R8 = H, C1-6 n-alkyl, C3-8
     branched alkyl, (un)substituted Ph or benzyl; R9 = C1-6 n-alkyl, C3-8
     branched alkyl, C1-6 n-alkylacyl, C3-8 branched alkylacyl, C5-7
     cycloalkylacyl, C1-6 n-alkylsulfonyl, C3-8 branched alkylsulfonyl,
     (un)substituted benzoyl, phenylsulfonyl, or benzyl; R10 = H, C1-6 n-alkyl,
     C3-8 branched alkyl, C6-10 alkylcycloalkyl, (un)substituted Ph or benzyl;
     when A is C atom, R3, A, and R4 are combined together to represent
     adamantyl, Q4, Q5; wherein X2, Y2, R11 are groups defined in X1, Y1, and
     R9, resp.; R5 = H, C1-6 n-alkyl, C3-8 branched alkyl, allyl, homoallyl,
     C6-10 alkylcycloalkyl, (un)substituted Ph, benzyl, phenethyl, styryl, or
     naphthylmethyl] or pharmacol. acceptable salts thereof are prepared  These
     compds. are drugs, particularly adhesion mol. inhibitors useful in the
     treatment of inflammatory diseases.  Thus, 45.1 mg 2-amino-3-[[(2,4,8-
     triaza-1-oxo-4-phenyl-2-benzylspiro[4.5]dec-8-yl)carbonyl]amino]propanoic
     acid Me ester was dissolved in 2 mL CH2Cl2, treated with 11.1 mg saturated
aqueous
     NaHCO3 and 21.3 mg p-nitrophenyl chloroformate under ice-cooling, stirred
     at room temperature for 2.5 h, treated with 28.7 mg 1-(4-piperidyl)-3-
     hydroxybenzimidazol-2-one and 65 μL Et3N, and stirred at room temperature for
     8 h to give 60% 2-[[[4-(2-oxo-3-hydrobenzimidazol-1-yl)-1-
     piperidyl]carbonyl]amino]-3-[[(2,4,8-triaza-1-oxo-4-phenyl-2-
     benzylspiro[4.5]dec-8-yl)carbonyl]amino]propanoic acid Me ester (II; R =
     Me) which (36.6 mg) was dissolved in 1.5 mL MeOH, treated with 1 N aqueous
     NaOH, stirred at room temperature for 2.5 h, treated with 1 N aqueous NaOH, and
     extracted with EtOAc to give II (R = H).  II (R = H) inhibited the binding of
     CS-1 peptide to VLA-4-IgG chimeric protein with IC50 of 0.98 nM.
RE.CNT  14    THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 8 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:220541  CAPLUS
DN   136:247609
TI   Preparation of urea derivatives as adhesive-molecule inhibitors
IN   Takahashi, Toshiya; Ishigaki, Takeshi; Funahashi, Miyuki; Taniguchi, Koji;
     Kaneko, Masayuki; Kainoh, Mie; Meguro, Hiroyuki
PA   Toray Industries, Inc., Japan
SO   PCT Int. Appl., 120 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 1
     PATENT NO.          KIND  DATE          APPLICATION NO.       DATE
     ---------------     ----  --------      --------------------  --------
PI   WO 2002022563        A1   20020321      WO 2001-JP7990        20010914
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2001086242       A5    20020326    AU 2001-86242        20010914
     CA 2422135          AA    20030313    CA 2001-2422135      20010914
     EP 1323709          A1    20030702    EP 2001-965644       20010914
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     US 2004039040       A1    20040226    US 2003-380523       20030314
PRAI JP 2000-281040      A     20000914
     WO 2001-JP7990      W     20010914
OS   MARPAT 136:247609
AB   The title compds. R4N(R3)CON(R2)CH[(CH2)mABC](CH2)nCO2R1 [n = 0 - 2; m = 1
     - 3; R1, R2 = H, alkyl; R3, R4 = H, (un)substituted cyclohexyl or
     piperidinyl (generic structure given), etc.; A = phenylene, etc.;
     B is amido, etc., or B is nonexistent; C = substituted 4-phenylpiperidinyl
     (generic structure given), etc.] are prepared  The title compds. are useful
     for the treatment of inflammatory diseases.  In an in vitro test for
     inhibition of the binding of VLA-4-IgG chimera protein to CS-1
     peptide, 3-(4-((2,6-dichlorophenyl)carbonylamino)-2-oxohydropyrimidinyl)-2-
     ((4-(2-oxo-3-azaindolinyl)piperidyl)carbonylamino)propanoic acid showed
     IC50 of 0.97 nM.
RE.CNT  8      THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 9 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:211229  CAPLUS
DN   137:210402
TI   Squaric acid derivatives as VLA-4 integrin antagonists
AU   Porter, John R.; Archibald, Sarah C.; Childs, Kirstie; Critchley, David;
     Head, John C.; Linsley, Janeen M.; Parton, Ted A. H.; Robinson, Martyn K.;
     Shock, Anthony; Taylor, Richard J.; Warrellow, Graham J.; Alexander, Rikki
     P.; Langham, Barry
CS   Celltech R&D Ltd., Slough, SL1 4EN, UK
SO   Bioorganic & Medicinal Chemistry Letters (2002), 12(7), 1051-1054
     CODEN: BMCLE8; ISSN: 0960-894X
PB   Elsevier Science Ltd.
DT   Journal
LA   English
OS   CASREACT 137:210402
AB   SAR studies aimed at improving the rate of clearance by the incorporation
     of a 3,4-diamino-3-cyclobutene-1,2-dione group as an amino acid isostere
     in a series of VLA-4 integrin antagonists are described.
RE.CNT  10     THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 10 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:123599  CAPLUS
DN   136:167694
TI   Preparation of substituted isonipecotyl derivatives as inhibitors of cell
     adhesion
IN   De Laszlo, Stephen E.; Gutteridge, Clare E.; Hagmann, William K.
PA   USA
SO   U.S. Pat. Appl. Publ., 25 pp.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND  DATE        APPLICATION NO.      DATE
     ---------------     ----  --------    -------------------- --------
PI   US 2002019419       A1    20020214    US 2001-882386       20010615
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PRAI US 2000-213157P P 20000622
OS MARPAT 136:167694
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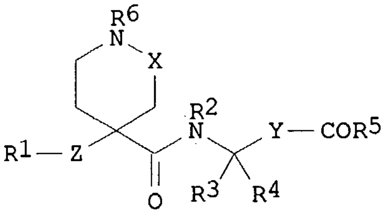

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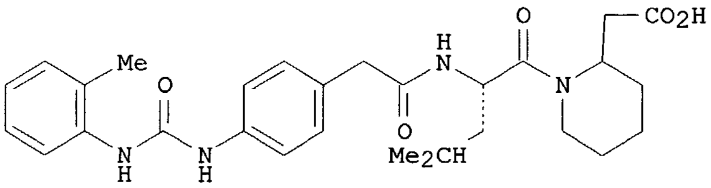
AB 4-Substituted 4-**piperidinecarboxamides** I [X = (CH2)0-3; Y = a bond, CH2 or substituted methylene; Z = S, SO, SO2; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, Cy [Cy = (hetero)cycloalkyl or (hetero)aryl (Ar)]; R2, R4 = H, (un)substituted alkyl, alkenyl or alkynyl; R3 = (un)substituted alkyl, Ar1, Ar1-alkyl, Ar1-Ar2 or Ar1-Ar2-alkyl; R5 = OH, alkoxy, alkenyloxy, alkynyloxy, CyO, carboxamido, etc.; R6 = H, alkyl, alkenyl, alkynyl, Cy, carboxamido, etc.] or **piperidine** ring-substituted derivs. were prepared as antagonists of **VLA**-4 and/or α4β7 and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-[N-methyl-4-(phenylsulfonyl)isonipecotyl]-L-4-biphenylalanine was prepared from resin-bound Fmoc-L-biphenylalanine (Fmoc = fluorenylmethoxycarbonyl) by sequential deprotection, acylation with bromoacetic acid, reaction with benzenethiol, oxidation of sulfide to sulfone, alkylation with N-methyldiethanol/1,1'-(azodicarbonyl)dipiperidine, and cleavage from the resin.

L3 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:872194 CAPLUS
DN 136:310131
TI Discovery and evaluation of piperidinyl carboxylic acid derivatives as potent α4β1 integrin antagonists
AU Muller, G.; Albers, M.; Fischer, R.; Hessler, G.; Lehmann, T. E.; Okigami, H.; Tajimi, M.; Bacon, K.; Rolle, T.
CS Central Research, Bayer AG, Leverkusen, D-51368, Germany
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(23), 3019-3021
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
GI



I

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AB    Piperidinylalkanoic acid-based derivs. were prepared as
      antagonists of the leukocyte cell adhesion process that is mediated
      through the interaction of the α4β1 integrin (  VLA-4,
      very late antigen 4) and the vascular cell adhesion mol. 1 (VCAM-1).  The
      products inhibited the adhesion in a cell-based assay in the low and sub
      micromolar range, a pharmacokinetic study of I is reported.
RE.CNT  16     THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3    ANSWER 12 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN    2001:690103  CAPLUS
DN    135:227251
TI    Preparation of N-sulfonylated 4-aminophenylalanine dipeptide derivatives
      as inhibitors of leukocyte adhesion mediated by VLA-4
IN    Ashwell, Susan; Grant, Francine S.; Konradi, Andrei W.; Kreft, Anthony;
      Lombardo, Louis John; Pleiss, Michael A.; Sarantakis, Dimitrios; Semko,
      Christopher M.; Thorsett, Eugene D.
PA    Athena Neurosciences, Inc., USA; American Home Products Corp.
SO    U.S., 45 pp.
      CODEN: USXXAM
DT    Patent
LA    English
FAN.CNT 1
      PATENT NO.          KIND   DATE       APPLICATION NO.       DATE
      ---------------     ----   --------   --------------------  --------
PI    US 6291453           B1    20010918   US 1998-126091        19980730
PRAI  US 1997-112019P      P     19970731
OS    MARPAT 135:227251
AB    Disclosed are title dipeptides R1SO2NR2CHR3-Q-CHR5CO2H [R1 =
      (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl or heteroaryl; R2 =
      H, (un)substituted alkyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl or
      heteroaryl; R3 = H, any group R1; R1R2N or R2R3N may be (un)substituted
      heterocyclyl; R5 = (CH2)x-Ar-R5'; R5' = NR12C(Z)NR8R8', NR12C(Z)R13; R12 =
      H, alkyl, aryl; R8, R8' = H, any group R1; R8 and R8' may join together to
      form a heterocyclic ring; R13 = saturated heterocyclyl; Z = O, S, NR13; x =
      1-4; Ar = (un)substituted (hetero)aryl; Q = C(X)NR7; R7 = H, alkyl; X = O,
      S (with provisos)] which bind VLA-4 (also referred to as α4β1
      integrin and CD49d/CD29).  Certain of these compds. also inhibit leukocyte
      adhesion and, in particular, leukocyte adhesion mediated by VLA-4.  Such
      compds. are useful in the treatment of inflammatory diseases in a
      mammalian patient, e.g., human, such as asthma, Alzheimer's disease,
      atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease,
      rheumatoid arthritis, tissue transplantation, tumor metastasis and
      myocardial ischemia.  The compds. can also be administered for the
      treatment of inflammatory brain diseases such as multiple sclerosis.
      Thus, condensation of N-tosyl-L-prolyl-4-amino-L-phenylalanine Me ester
      with 3-phenylpropyl isothiocyanate afforded N-tosyl-L-prolyl-4-[3-(3-
      phenylpropyl)thioureido]-L-phenylalanine Me ester.
RE.CNT  58     THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3    ANSWER 13 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN    2001:591203  CAPLUS
DN    136:329
TI    Diamine containing VLA-4 antagonists
AU    Astles, P. C.; Harris, N. V.; Morley, A. D.
CS    Dagenham Research Centre, Aventis Pharma Ltd, Essex, Dagenham, RM10 7XS,
      UK
SO    Bioorganic & Medicinal Chemistry (2001), 9(8), 2195-2202
      CODEN: BMECEP; ISSN: 0968-0896
PB    Elsevier Science Ltd.
DT    Journal
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LA   English
AB   Design and synthesis of a library as potential VLA-4 antagonists has been
     accomplished, based around a proposed pharmacophoric model.  Compds.
     possessing submicromolar potency were identified and structure-activity
     relationships were seen across the library.  Further derivatization
     produced compds. with IC50's <10 nmol for inhibiting the VLA-4 mediated
     binding of fibronectin to RAMOS cells, providing an ideal starting point
     for a lead optimization program.
RE.CNT  27     THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 14 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2001:545678  CAPLUS
DN   135:137405
TI   Preparation of substituted diphenyl ureas as inhibitors for VLA-4
IN   Johnstone, Craig; Large, Michael Stewart
PA   Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO   PCT Int. Appl., 55 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.       DATE
     ---------------     ----   --------    --------------------  --------
PI   WO 2001053279        A1    20010726    WO 2001-GB162         20010117
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1252152           A1    20021030    EP 2001-900551        20010117
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2003520790        T2    20030708    JP 2001-553754        20010117
     US 2003087956        A1    20030508    US 2002-149932        20020614
PRAI GB 2000-1348         A     20000121
     WO 2001-GB162        W     20010117
OS   MARPAT 135:137405
GI
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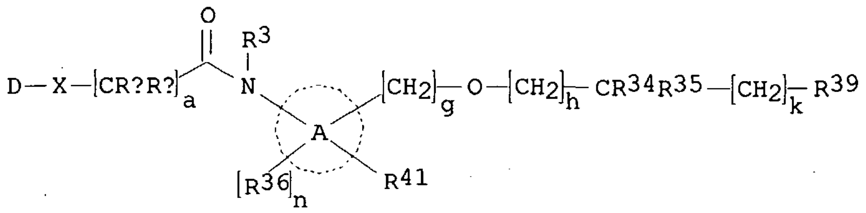

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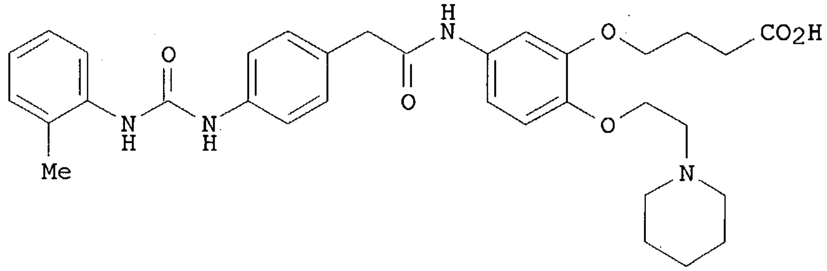

II

AB The title compds. [I; D = a VLA-4 specificity determinant which does not impart significant IIB/IIIa activity; Ra, Rb = H, alkyl; a = 1-4; X = a bond, O, S, etc.; R3 = H, alkyl; A = aryl, heterocyclyl; n = 0-3; R34 = H, alkyl, aryl, etc.; R35 = H, OH, alkyl, etc.; R36 = alkyl, alkenyl, alkoxy, etc.; R39 = an acidic functional group; h = 0-1; g = 0-1; k = 0-3; R41 = U(CH2)dVT (wherein U = O, S, a bond, CH2O; V = N, O, S, etc.; d = 0-4; T = H, alkyl, alkoxy, etc.)], useful in the treatment of disease mediated by the interaction between VCAM-1 and/or fibronectin and the integrin receptor α4β1 (such as hypersensitivity and arthritis), were prepared E.g., a multi-step synthesis of the urea II which was found to be an inhibitor at 87 nM in MOLT-4 cell/Fibronectin adhesion assay, was given.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:479157 CAPLUS
DN 135:61563
TI Preparation and testing of β-amino acid peptide derivatives as integrin inhibitors for pharmaceutical use
IN Albers, Markus; Lehmann, Thomas; Rolle, Thomas; Muller, Gerhard; Hessler, Gerhard; Tajimi, Masaomi; Ziegelbauer, Karl; Okigami, Hiromi; Hasegawa, Haruki
PA Bayer A.-G., Germany
SO Ger. Offen., 90 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

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       PATENT NO.          KIND  DATE        APPLICATION NO.      DATE
       ---------------     ----  --------    -------------------- --------
PI     DE 19962936          A1   20010628    DE 1999-19962936     19991224
       WO 2001047887        A1   20010705    WO 2000-EP12594      20001212
           W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
               HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
               LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
               SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1246800          A1     20021009    EP 2000-991175          20001212
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2003519126       T2     20030617    JP 2001-549359          20001212
     US 2004029883       A1     20040212    US 2002-168282          20021119
     US 6794386          B2     20040921
     US 2004229859       A1     20041118    US 2004-856615          20040528
PRAI DE 1999-19962936    A      19991224
     WO 2000-EP12594     W      20001212
     US 2002-168282      A3     20021119
OS   MARPAT 135:61563
GI
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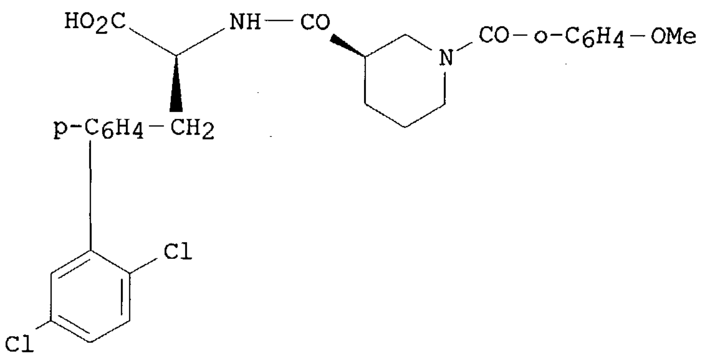

I

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AB   Title compds., e.g. (I), were prepared and tested as inhibitors of
     VLA-4, for the treatment of inflammatory, autoimmune and immune
     diseases.  Thus, using standard solid-phase peptide synthetic techniques,
     (2S)-3-(4-bromophenyl)-2-(NH-Fmoc)propionic acid (Fmoc =
     9-fluorenylmethoxycarbonyl) was coupled to Wang resin and further reacted
     stepwise with (3RS)-N-Fmoc-piperidin-3-carboxylic acid,
     2,5-dichlorophenylboronic acid, and 2-methoxybenzoyl chloride, and cleaved
     from the resin, to give I and its 3' enantiomer (HPLC retention times 9.9
     and 10.4; stereo not identified).  In in vitro adhesion tests with
     fluorescently marked Jurcat cells, I had IC50 ≤ 0.5μM.

L3   ANSWER 16 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2001:12266  CAPLUS
DN   134:86149
TI   Preparation of diphenyl ureas as VLA-4 inhibitors
IN   Baldwin, John J.; McDonald, Edward; Moriarty, Kevin Joseph; Sarko,
     Christopher Ronald; Machinaga, Nobuo; Nakayama, Atsushi; Chiba, Jun;
     Iimura, Shin; Yoneda, Yoshiyuki
PA   Daiichi Pharmaceutical Co., Ltd., Japan; Pharmacopeia, Inc.
SO   PCT Int. Appl., 511 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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PI   WO 2001000206       A1     20010104    WO 2000-US18079         20000630
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
            YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2369308           AA     20010104    CA 2000-2369308        20000630
     EP 1189612           A1     20020327    EP 2000-945035         20000630
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
     BR 2000012068        A      20020514    BR 2000-12068          20000630
     JP 2003503350        T2     20030128    JP 2001-505915         20000630
     ZA 2001009203        A      20030207    ZA 2001-9203           20011107
     NO 2001006319        A      20020228    NO 2001-6319           20011221
     US 2003078249        A1     20030424    US 2001-34585          20011228
     US 6756378           B2     20040629
     US 2004229858        A1     20041118    US 2004-787905         20040226
PRAI US 1999-141601P      P      19990630
     US 1999-141602P      P      19990630
     US 1999-141692P      P      19990630
     WO 2000-US18079      W      20000630
     US 2001-34585        A3     20011228
OS   MARPAT 134:86149
GI
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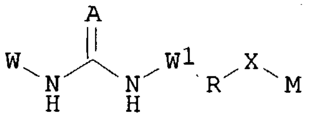

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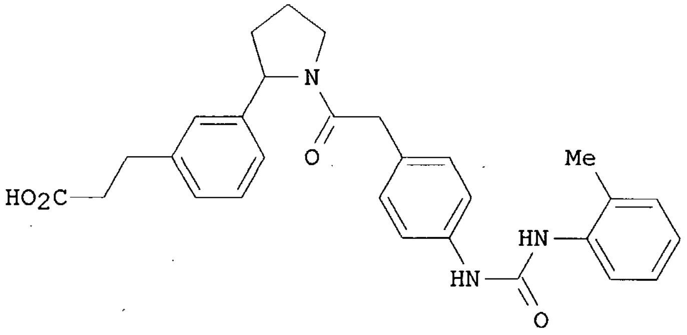

II

AB The title compds. [I; W = (un)substituted aryl, heteroaryl; W1 = (un)substituted arylene, heteroarylene; A = O, S, NH; R = a bond, alkenylene, $(CH_2)_n$; n = 1-2; X = CO, CH_2, SO_2; M = substituted pyrrolidinyl, thiazolidinyl, etc.] which selectively inhibit the binding of ligands to $\alpha 4\beta 1$ integrin (VLA-4), and therefore are useful in the treatment of conditions associated with VLA-4 mediated cell adhesion, including, but not limited to, such conditions as inflammatory and autoimmune responses, diabetes, asthma, psoriasis, inflammatory bowel disease, transplantation rejection, and tumor metastasis, were prepared E.g., a multi-step synthesis of the urea II which showed Ki of < 50 nM

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     against VLA-4 receptors binding, was given.
RE.CNT  4      THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3   ANSWER 17 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2000:513678  CAPLUS
DN   133:120680
TI   Preparation of fused ring heteroaryl and heterocyclic amino acid
     derivatives as inhibitors of leukocyte adhesion mediated by VLA-4
IN   Grant, Francine S.; Konradi, Andrei W.; Pleiss, Michael A.; Thorsett,
     Eugene D.
PA   Elan Pharmaceuticals, Inc., USA
SO   PCT Int. Appl., 147 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND  DATE         APPLICATION NO.       DATE
     ---------------     ----  --------     --------------------  --------
PI   WO 2000043371        A2   20000727     WO 2000-US1536        20000121
     WO 2000043371        A3   20001123
         W:  AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2359112           AA   20000727     CA 2000-2359112       20000121
     EP 1147091           A2   20011024     EP 2000-903379        20000121
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     US 6545003           B1   20030408     US 2000-489271        20000121
     NZ 512565            A    20031219     NZ 2000-512565        20000121
     AU 769741            B2   20040205     AU 2000-25135         20000121
     ZA 2001005312        A    20020927     ZA 2001-5312          20010627
     US 2004029873        A1   20040212     US 2002-316189        20021211
PRAI US 1999-116967P      A1   19990122
     US 1999-117742P      P    19990129
     US 2000-489271       A3   20000121
     WO 2000-US1536       W    20000121
OS   MARPAT 133:120680
AB   Disclosed are compds. R2-W:CR1-Q-CR3R3'COX and R2-W'-CHR1-Q-CR3R3'COX [R1
     and R2 are joined to form a ring; R3 = (CH2)x-Ar-O-Z-R4,
     Ar1-Ar2-C1-10alkyl, -C2-10alkenyl, or -C2-10alkynyl where Ar, Ar1, Ar2 =
     (un)substituted aryl or heteroaryl, Z = CO, SO2; R4 = amino or
     heterocyclic group; x = 1-4; R3' = H, (un)substituted alkyl, alkenyl,
     alkynyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl; Q = O, S, SO, SO2,
     NH or imino group; W = nitrogen, carbon; W' = nitrogen, carbon, oxygen,
     sulfur, SO, SO2; X = OH, (un)substituted alkoxy, alkenoxy, cycloalkoxy,
     cycloalkenoxy, aryloxy, heteroaryloxy or heterocyclyloxy, an amino group]
     which bind VLA-4.  Thus, N-[1-(ethoxycarbonylmethyl)benzimidazol-2-yl]-L-4-
     (N,N-dimethylcarbamyloxy)phenylalanine tert-Bu ester was prepared by
     condensation of 1-(ethoxycarbonylmethyl)-2-chlorobenzimidazole with
     L-4-(N,N-dimethylcarbamyloxy)phenylalanine tert-Bu ester.

L3   ANSWER 18 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1999:350649  CAPLUS
DN   130:352550
TI   Synthesis of 4-substituted 4-piperidinecarboxamide derivatives as cell
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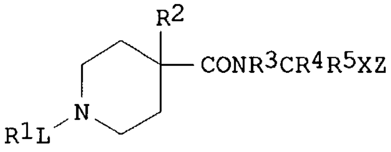
adhesion inhibitors
IN Delaszlo, Stephen E.; Hagmann, William K.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9925685	A1	19990527	WO 1998-US24513	19981116
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6020347	A	20000201	US 1998-191902	19981113
	AU 9914150	A1	19990607	AU 1999-14150	19981116
PRAI	US 1997-65917P	P	19971118		
	GB 1997-27214	A	19971223		
	WO 1998-US24513	W	19981116		

OS MARPAT 130:352550
GI


I

AB 4-Substituted 4-**piperidinecarboxamides** I [L = CO, OCO, NHCO or substituted iminocarbonyl, SO2, P(O)OR4, COCO; X is a bond, CH2 or substituted methylene; Z = CO2H, PO3H2, PH(O)OH, SOH, SO2H, SO3H or their esters, CONH2 or substituted carboxamido, 5-tetrazolyl; R1, R2 = (un)substituted alkyl, alkenyl, alkynyl, Cy (cycloalkyl, heterocycloalkyl, aryl, heteroaryl); Cy-alkyl, Cy-alkenyl, or Cy-alkynyl; R3 = H, (un)substituted alkyl or Cy; R4 = H or (un)substituted alkyl, alkenyl, alkynyl, Cy, or Cy-alkyl; R5 = H, (un)substituted alkyl, alkenyl, alkynyl, Cy-(Cy1)p (Cy1 same definition as Cy, p = 0, 1), Cy-(Cy1)p-alkyl, -alkenyl, or -alkynyl] were prepared as antagonists of **VLA**-4 and/or $\alpha4\beta7$ and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-[4-methyl-1-[4-(N'-2-methylphenylureido)phenylacetyl]**piperidinyl**-4-carbonyl]-L-4-fluorophenylalanine was prepared from L-4-fluorophenylalanine tert-Bu ester via 2-step N-acylation in solution
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:305984 CAPLUS
DN 131:110888
TI Anti-inflammatory activity of c(ILDV-NH(CH2)5CO), a novel, selective, cyclic peptide inhibitor of VLA-4-mediated cell adhesion
AU Haworth, Duncan; Rees, Amanda; Alcock, Peter J.; Wood, Linda J.; Dutta, Anand S.; Gormley, James J.; Jones, Huw B.; Jamieson, Alec; Reilly, Christopher F.
CS CM&M Research Department, Zeneca Pharmaceuticals, Macclesfield, SK 10 4TG,

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       UK
SO     British Journal of Pharmacology (1999), 126(8), 1751-1760
       CODEN: BJPCBM; ISSN: 0007-1188
PB     Stockton Press
DT     Journal
LA     English
AB     Small, N- to C-terminal cyclized peptides containing the leucyl-aspartyl-
       valine (LDV) motif from fibronectin connecting segment-1 (CS-1) have been
       investigated for their effects on the adhesion of human T-lymphoblastic
       leukemia cells (MOLT-4) to human plasma fibronectin in vitro mediated by
       the integrin Very Late Antigen (VLA)-4 (α4β1, CD49d/CD29).
       Cyclo(-isoleucyl-leucyl-aspartyl-valyl-aminohexanoyl-)
       (c(ILDV-NH(CH2)5CO)) was approx. 5 fold more potent (IC50 3.6±0.44
       μM) than the 25-amino acid linear CS-1 peptide.  Cyclic peptides containing
       two more or one less methylene groups had similar potency to
       c(ILDV-NH(CH2)5CO) while a compound containing three less methylene groups,
       c(ILDV-NH(CH2)2CO), was inactive at 100 μM.  C(ILDV-NH(CH2)5CO) had
       little effect on cell adhesion mediated by two other integrins, VLA-5
       (α5,β1, CD49e/CD29) (K562 cell adhesion to fibronectin) or
       Leukocyte Function Associated mol.-1 (LFA-1, αLβ2, CD11a/CD18)
       (U937 cell adhesion to Chinese hamster ovary cells transfected with
       intercellular adhesion mol.-1) at concns. up to 300 μM.
       C(ILDV-NH(CH2)5CO) inhibited ovalbumin delayed-type hypersensitivity or
       oxazolone contact hypersensitivity in Balb/c mice when dosed continuously
       from s.c. osmotic mini-pumps (0.1 - 10 mg kg-1 day-1).  Maximum inhibition
       (approx. 40%) was similar to that caused by the monoclonal antibody PS/2
       (7.5 mg kg-1 i.v.) directed against the α4 integrin subunit.
       C(ILDV-NH(CH2)5CO) also inhibited oxazolone contact hypersensitivity when
       dosed i.v. 20 h after oxazolone challenge (1 - 10 mg kg-1).  Ear swelling
       was reduced at 3 h and 4 h but not at 1 h and 2 h post-dose (10 mg kg-1).
       Small mol. VLA-4 inhibitors derived from c(ILDV-NH(CH2)5CO) may be useful
       as anti-inflammatory agents.
RE.CNT  41     THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3     ANSWER 20 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN     1999:113709  CAPLUS
DN     130:153983
TI     Preparation of N-sulfonylated aminophenylalanine dipeptide derivatives and
       analogs as inhibitors of leukocyte adhesion mediated by VLA-4
IN     Ashwell, Susan; Grant, Francine S.; Konradi, Andrei W.; Kreft, Anthony;
       Lombardo, Louis John; Pleiss, Michael A.; Sarantakis, Dimitrios; Semko,
       Christopher M.; Thorsett, Eugene D.
PA     Athena Neurosciences, Inc., USA; American Home Products Corporation
SO     PCT Int. Appl., 164 pp.
       CODEN: PIXXD2
DT     Patent
LA     English
FAN.CNT 1
       PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI     WO 9906434           A1    19990211    WO 1998-US15312        19980730
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               KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
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       AU 9885846           A1    19990222    AU 1998-85846          19980730
       ZA 9806837           A     20000502    ZA 1998-6837           19980730
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     EP 1001974          A1     20000524    EP 1998-937049         19980730
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     BR 9812118          A      20000718    BR 1998-12118          19980730
     JP 2003517424       T2     20030527    JP 2000-505189         19980730
     NO 2000000411       A      20000328    NO 2000-411            20000127
PRAI US 1997-920353      A1     19970731
     WO 1998-US15312     W      19980730
OS   MARPAT 130:153983
GI
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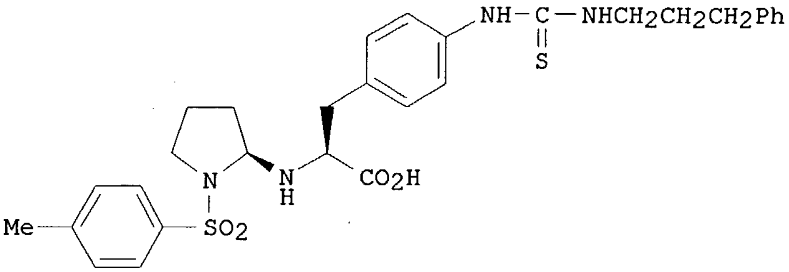

I

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = NR12C(Z)NR8R8', NR12C(Z)R13; R12 = H, alkyl, aryl; R8, R8' = independently H, any group R1; R8R8' may form heterocyclic ring; R13 = saturated heterocycle; Z = O, S, NR13; x = 1-4; , (CH2)n-heteroaryl; n = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, β-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin α4β1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, condensation of N-tosyl-L-prolyl-4-amino-L-phenylalanine Me ester with 3-phenylpropyl isothiocyanate gave the corresponding urea I.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:113706 CAPLUS
DN 130:168661
TI Preparation of N-sulfonyl phenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
IN Thorsett, Eugene D.; Semko, Christopher M.; Sarantakis, Dimitrios; Pleiss, Michael A.; Lombardo, Louis John; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.; Baudy,

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     Reinhardt Bernhard; Ashwell, Susan
PA   Athena Neurosciences, Inc., USA; American Home Products Corporation
SO   PCT Int. Appl., 254 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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PI   WO 9906431           A1    19990211   WO 1998-US15313        19980730
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             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
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     AU 9886611           A1    19990222   AU 1998-86611          19980730
     AU 756696            B2    20030123
     ZA 9806827           A     20000502   ZA 1998-6827           19980730
     EP 1001972           A1    20000524   EP 1998-937990         19980730
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     BR 9812114           A     20000718   BR 1998-12114          19980730
     JP 2001512134        T2    20010821   JP 2000-505186         19980730
     NZ 502582            A     20020726   NZ 1998-502582         19980730
     TW 534910            B     20030601   TW 1998-87112638       19980731
     NO 2000000450        A     20000328   NO 2000-450            20000128
PRAI US 1997-920394       A1    19970731
     WO 1998-US15313      W     19980730
OS   MARPAT 130:168661
AB   Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted
     alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted
     heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted
     heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted
     heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = substituted
     alkylcarbonylamino, alkoxyaryl, aryl, heteroaryl, NR2, alkoxy-NR2,
     alkenyl, alkynyl, aryloxy, heteroaryloxy, tetrazolyl, etc.; each R = H,
     any group R1; Ar = (un)substituted aryl or heteroaryl; x = 1-4; Q =
     C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy,
     (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino,
     β-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H,
     (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted
     CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted
     alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted
     heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable
     salts thereof, with provisos] which bind VLA-4 (also referred to as
     integrin α4β1 and CD49d/CD29).  Certain of these compds. also
     inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated
     by VLA-4.  Such compds. are useful in the treatment of inflammatory
     diseases in a mammalian patient, e.g., human, wherein the disease may be,
     for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia,
     diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue
     transplantation, tumor metastasis and myocardial ischemia.  The compds.
     can also be administered for the treatment of inflammatory brain diseases
     such as multiple sclerosis.  Thus, BOP-mediated peptide coupling of
     Ts-Pro-Phe(4-NH2)-OMe (Ts = tosyl) with Boc-Gly-OH, followed by saponification,
     gave desired title compound Ts-Pro-Phe(4-Boc-Gly-NH)-OH.  All prepared compds.
     have IC50 ≤ 15 μM in a VLA-4 binding assay.
RE.CNT  5      THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
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L3   ANSWER 22 OF 22  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1999:113666  CAPLUS
DN   130:182768
TI   Preparation of N-sulfonyl O-carbamoyltyrosine dipeptide derivatives and
     analogs as inhibitors of leukocyte adhesion mediated by VLA-4
IN   Thorsett, Eugene D.; Semko, Christopher M.; Sarantakis, Dimitrios; Pleiss,
     Michael A.; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.;
     Dressen, Darren B.; Ashwell, Susan; Baudy, Reinhardt Bernhard; Lombardo,
     Louis John
PA   Athena Neurosciences, Inc., USA; American Home Products Corporation
SO   PCT Int. Appl., 386 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.          KIND   DATE         APPLICATION NO.        DATE
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PI   WO 9906390           A1    19990211     WO 1998-US15324        19980731
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             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     ZA 9806830           A     20000502     ZA 1998-6830           19980730
     AU 9885849           A1    19990222     AU 1998-85849          19980731
     AU 740681            B2    20011108
     EP 1000051           A1    20000517     EP 1998-937052         19980731
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     BR 9811598           A     20001003     BR 1998-11598          19980731
     JP 2001512114        T2    20010821     JP 2000-505149         19980731
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     US 6489300           B1    20021203     US 1998-126958         19980731
     TW 533211            B     20030521     TW 1998-87112594       19980731
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     EP 1452532           A1    20040901     EP 2004-11786          19980731
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     NO 2000000413        A     20000328     NO 2000-413            20000127
     US 2002193312        A1    20021219     US 2001-987619         20011115
     US 2003017993        A1    20030123     US 2001-987900         20011116
     US 6525026           B2    20030225
     US 2004014677        A1    20040122     US 2002-316205         20021211
PRAI US 1997-904424       A1    19970731
     US 1997-54453P       P     19970801
     US 1997-112020P      P     19970731
     EP 1998-937052       A3    19980731
     US 1998-126958       A3    19980731
     WO 1998-US15324      W     19980731
     US 2001-987900       A3    20011116
OS   MARPAT 130:182768
AB   Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted
     alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted
     heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted
     heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted
```

heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = OZNR8R8', OZR12; R8, R8' = independently H, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R12 = (un)substituted heterocyclyl; Z = CO, SO2; Ar = (un)substituted aryl or heteroaryl; x = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, β-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z'; R11 = alkyl; Z' = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin α4β1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, carbamoylation of Ts-Pro-Tyr-OEt (Ts = tosyl) with Me2NCOCl in the presence of Et3N and DMAP gave 99% desired title compound Ts-Pro-Tyr(CONMe2)-OEt (I). Saponification of I gave the corresponding free acid Ts-Pro-Tyr(CONMe2)-OH. All prepared compds. have IC50 ≤ 15 μM in a VLA-4 binding assay.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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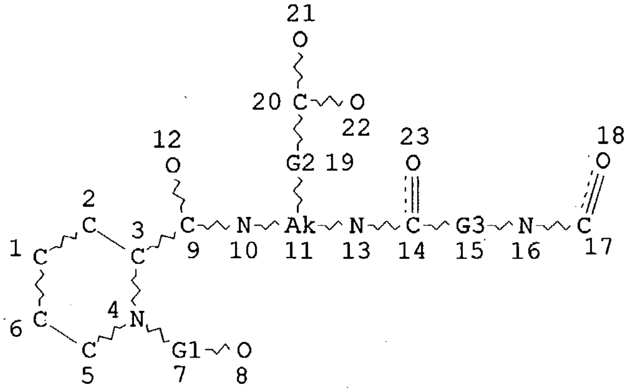

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STEREO ATTRIBUTES: NONE


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100.0% PROCESSED  284563 ITERATIONS                           1 ANSWERS
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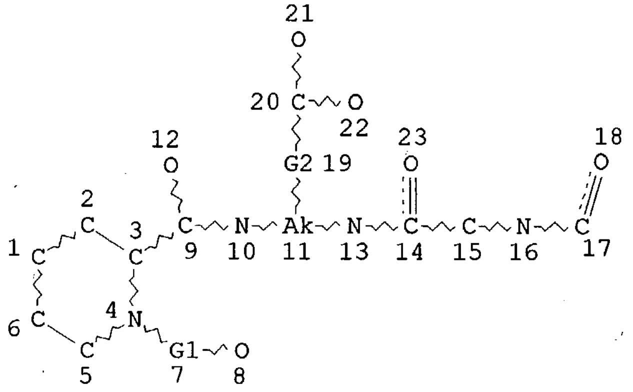

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100.0% PROCESSED  272629 ITERATIONS                          1 ANSWERS
SEARCH TIME: 00.00.32

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=> d

L6   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   327613-21-8  REGISTRY
CN   Butanoic acid, 2-[[[(2S)-1-[(3,5-dichlorophenyl)sulfonyl]-2-
     piperidinyl]carbonyl]amino]-4-[[(2S)-4-methyl-2-[methyl[[4-[[[(2-
     methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-1-oxopentyl]amino]-
     , (2S)- (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C39 H48 Cl2 N6 O8 S
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
       (Uses)

Absolute stereochemistry.
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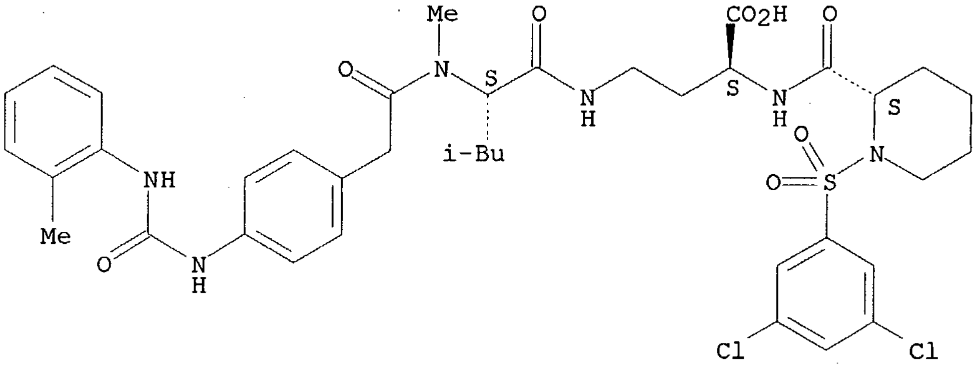

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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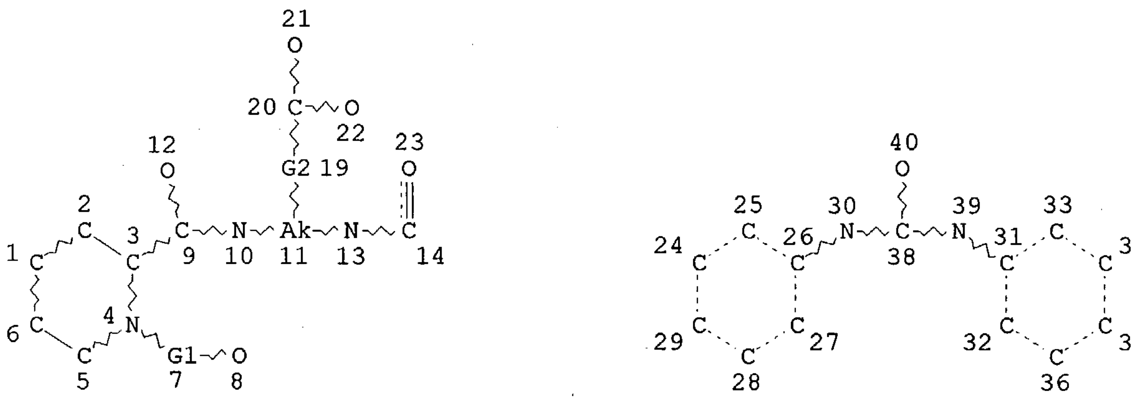

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Page 1-B
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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS  35

STEREO ATTRIBUTES: NONE


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FULL SCREEN SEARCH COMPLETED -    154 TO ITERATE

100.0% PROCESSED     154 ITERATIONS                              1 ANSWERS
SEARCH TIME: 00.00.02

L19            1 SEA SSS FUL L17

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L19  ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2004 ACS on STN
RN   327613-21-8  REGISTRY
CN   Butanoic acid, 2-[[[(2S)-1-[(3,5-dichlorophenyl)sulfonyl]-2-
     piperidinyl]carbonyl]amino]-4-[[(2S)-4-methyl-2-[methyl[[4-[[[(2-
     methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-1-oxopentyl]amino]-
     , (2S)- (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C39 H48 Cl2 N6 O8 S
SR   CA
LC   STN Files:   CA, CAPLUS, USPATFULL
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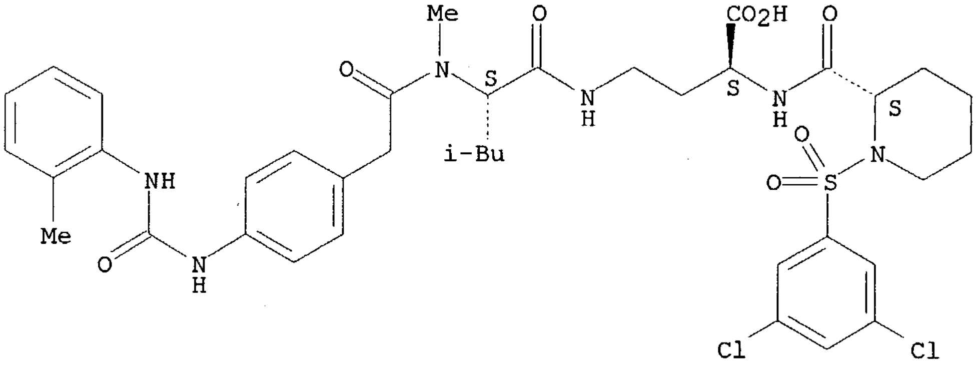
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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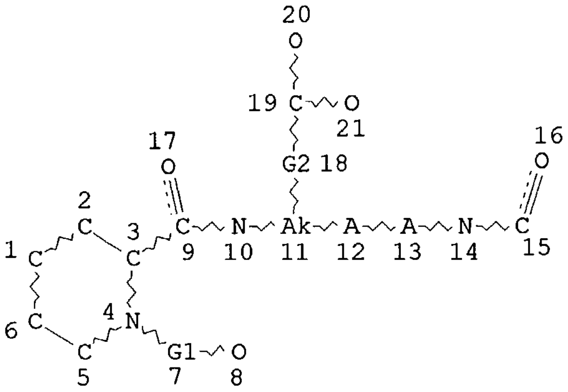

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
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PROJECTED ANSWERS:               0 TO        0

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100.0% PROCESSED  294464 ITERATIONS                             19 ANSWERS
SEARCH TIME: 00.00.28

L3            19 SEA SSS FUL L1

=> d scan

L3   19 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN   L-Lysine, O-methyl-D-tyrosyl-L-isoleucyl-2-piperidinecarbonyl-N6-formyl-N6-
     (phenylmethoxy)- (9CI)
SQL  4
MF   C36 H51 N5 O8

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**

Absolute stereochemistry.
```

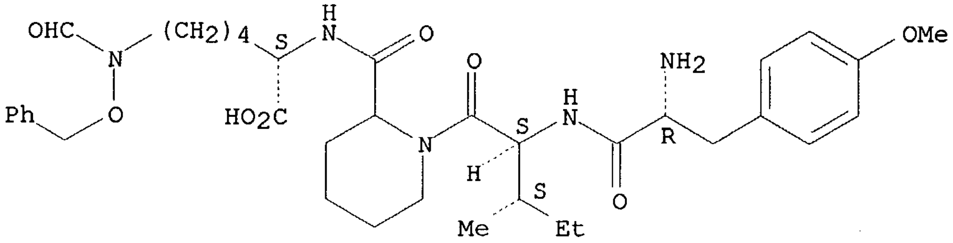

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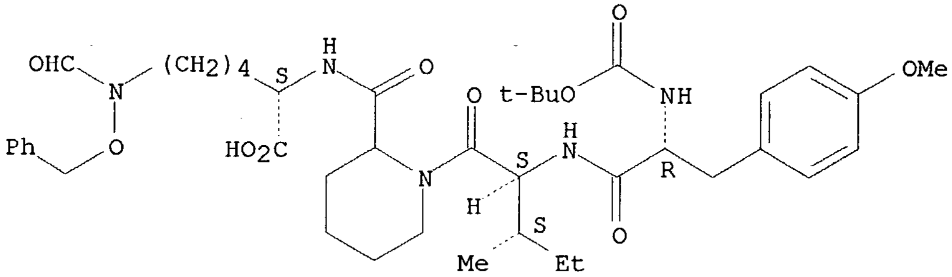
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):18

L3    19 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN    L-Lysine, N-[(1,1-dimethylethoxy)carbonyl]-O-methyl-D-tyrosyl-L-isoleucyl-
      2-piperidinecarbonyl-N6-formyl-N6-(phenylmethoxy)- (9CI)
SQL   4
MF    C41 H59 N5 O10

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**

Absolute stereochemistry.
```



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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L3    19 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN    L-Lysine, N-[(1,1-dimethylethoxy)carbonyl]-O-methyl-D-tyrosyl-L-isoleucyl-
      2-piperidinecarbonyl-N6-formyl-N6-(phenylmethoxy)-, 2-
      (trimethylsilyl)ethyl ester (9CI)
SQL   4
MF    C46 H71 N5 O10 Si

**RELATED SEQUENCES AVAILABLE WITH SEQLINK**

Absolute stereochemistry.
```
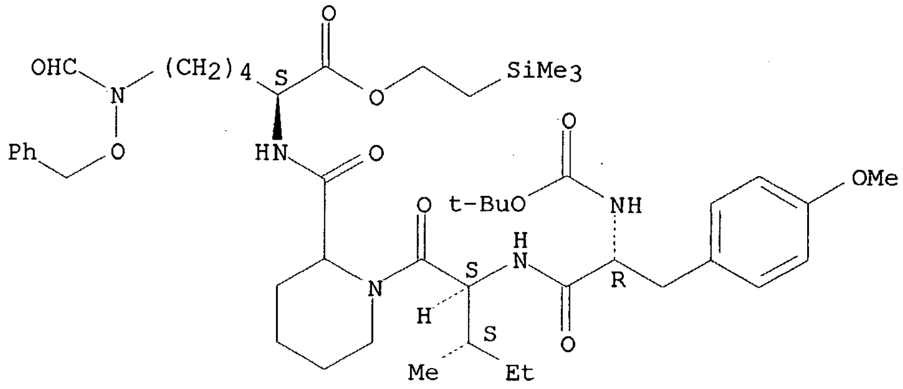
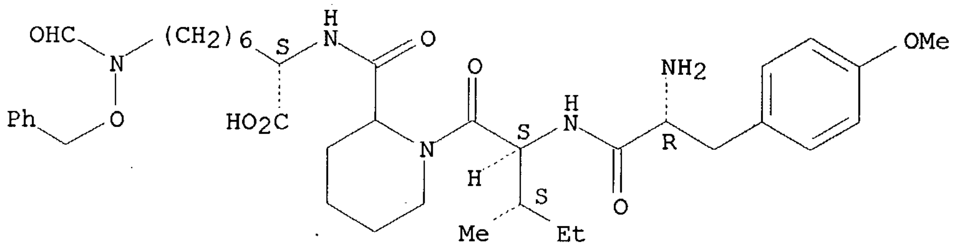



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Octanoic acid, O-methyl-D-tyrosyl-L-isoleucyl-2-piperidinecarbonyl-2-amino-8-[formyl(phenylmethoxy)amino]-, (2S)- (9CI)
SQL 4
MF C38 H55 N5 O8

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

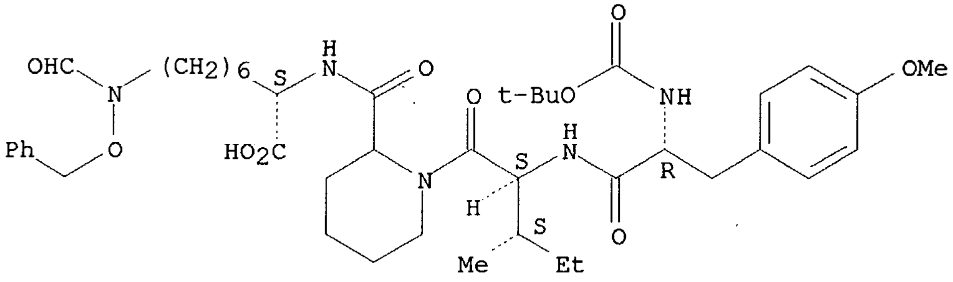


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Octanoic acid, N-[(1,1-dimethylethoxy)carbonyl]-O-methyl-D-tyrosyl-L-isoleucyl-2-piperidinecarbonyl-2-amino-8-[formyl(phenylmethoxy)amino]-, (2S)- (9CI)
SQL 4
MF C43 H63 N5 O10

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN

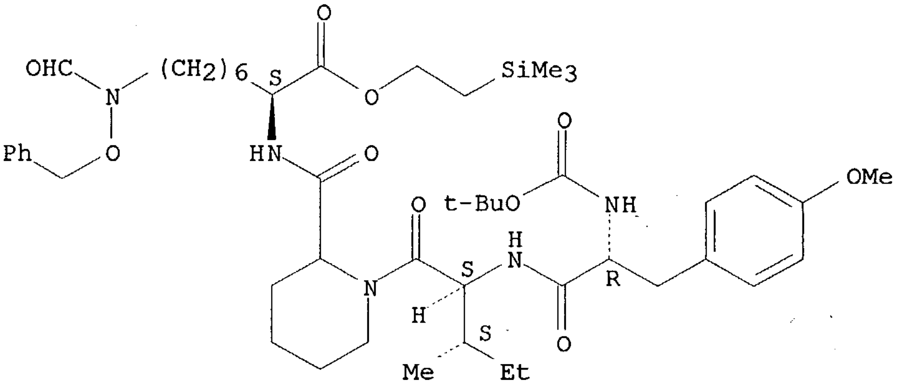
IN Octanoic acid, N-[(1,1-dimethylethoxy)carbonyl]-O-methyl-D-tyrosyl-L-isoleucyl-2-piperidinecarbonyl-2-amino-8-[formyl(phenylmethoxy)amino]-, 2-(trimethylsilyl)ethyl ester, (2S)- (9CI)

SQL 4

MF C48 H75 N5 O10 Si

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



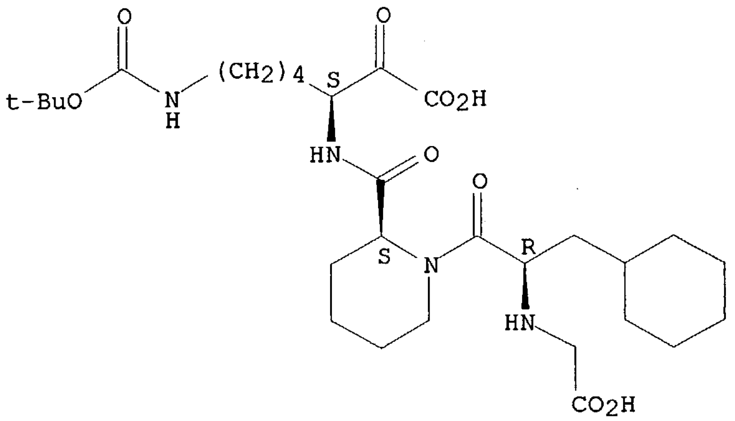
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN

IN Heptanoic acid, 3-[[[(2S)-1-[(2R)-2-[(carboxymethyl)amino]-3-cyclohexyl-1-oxopropyl]-2-piperidinyl]carbonyl]amino]-7-[[(1,1-dimethylethoxy)carbonyl]amino]-2-oxo-, (3S)- (9CI)

MF C29 H48 N4 O9

Absolute stereochemistry.

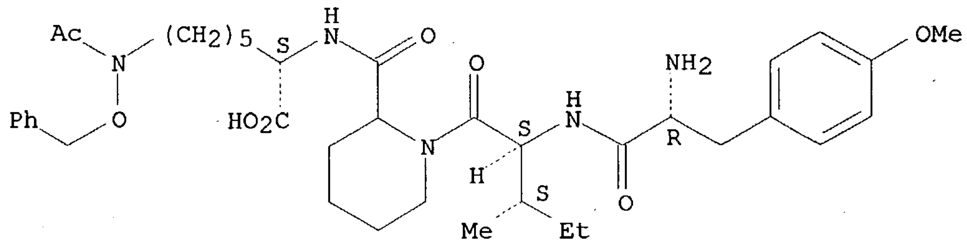


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Heptanoic acid, O-methyl-D-tyrosyl-L-isoleucyl-2-piperidinecarbonyl-7-[acetyl(phenylmethoxy)amino]-2-amino-, (2S)- (9CI)
SQL 4
MF $C_{38}H_{55}N_5O_8$

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

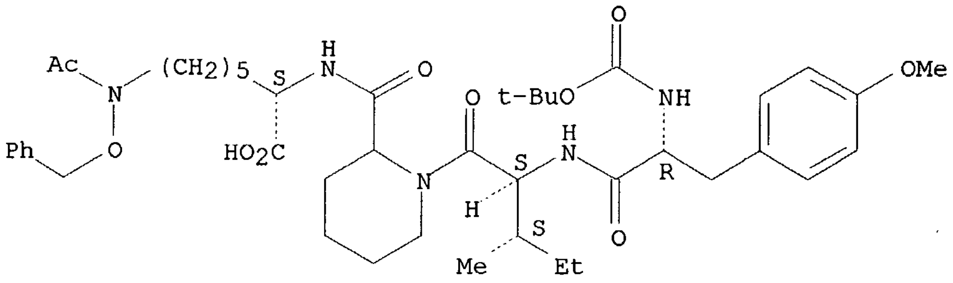


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Heptanoic acid, N-[(1,1-dimethylethoxy)carbonyl]-O-methyl-D-tyrosyl-L-isoleucyl-2-piperidinecarbonyl-7-[acetyl(phenylmethoxy)amino]-2-amino-, (2S)- (9CI)
SQL 4
MF $C_{43}H_{63}N_5O_{10}$

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

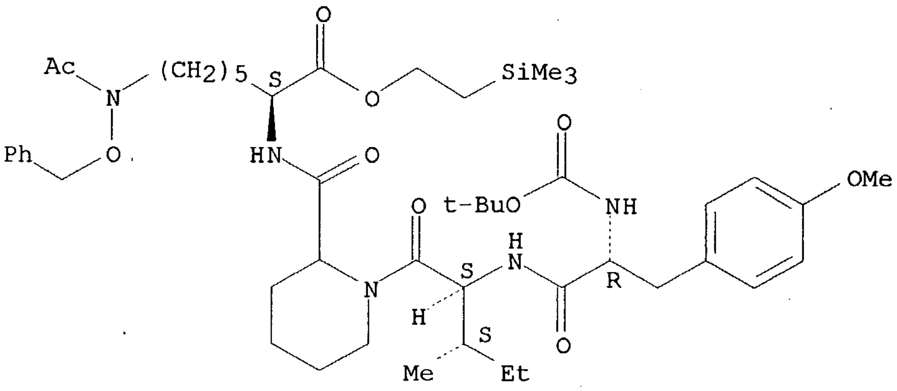


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Heptanoic acid, N-[(1,1-dimethylethoxy)carbonyl]-O-methyl-D-tyrosyl-L-isoleucyl-2-piperidinecarbonyl-7-[acetyl(phenylmethoxy)amino]-2-amino-, 2-(trimethylsilyl)ethyl ester, (2S)- (9CI)
SQL 4
MF C48 H75 N5 O10 Si

RELATED SEQUENCES AVAILABLE WITH SEQLINK

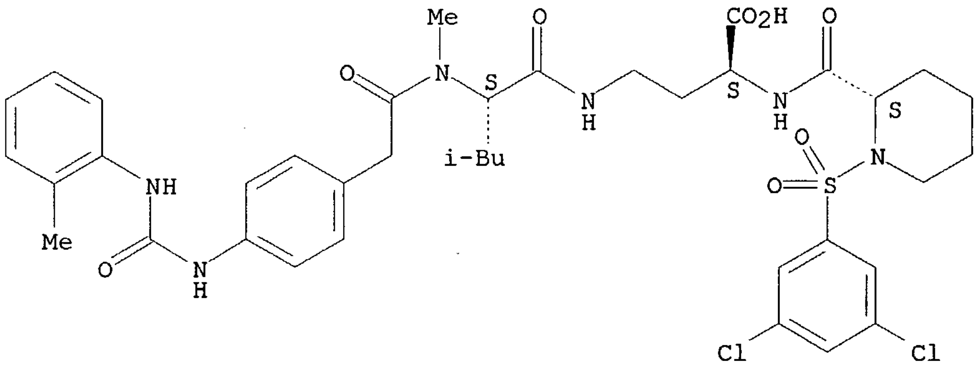
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Butanoic acid, 2-[[[(2S)-1-[(3,5-dichlorophenyl)sulfonyl]-2-piperidinyl]carbonyl]amino]-4-[[(2S)-4-methyl-2-[methyl[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-1-oxopentyl]amino]-, (2S)- (9CI)
MF C39 H48 Cl2 N6 O8 S

Absolute stereochemistry.

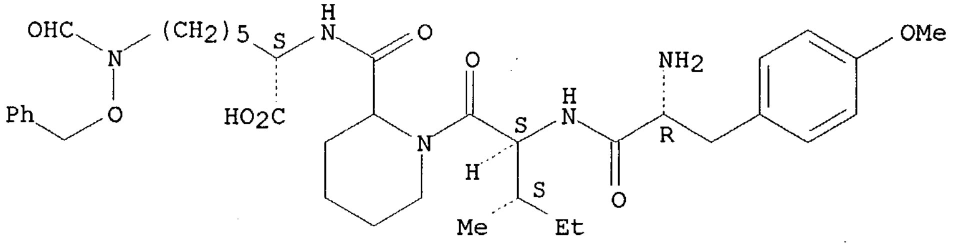


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Heptanoic acid, O-methyl-D-tyrosyl-L-isoleucyl-2-piperidinecarbonyl-2-amino-7-[formyl(phenylmethoxy)amino]-, (2S)- (9CI)
SQL 4
MF C37 H53 N5 O8

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

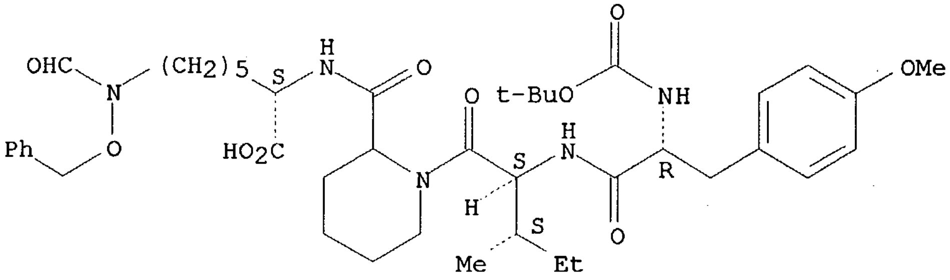


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Heptanoic acid, N-[(1,1-dimethylethoxy)carbonyl]-O-methyl-D-tyrosyl-L-isoleucyl-2-piperidinecarbonyl-2-amino-7-[formyl(phenylmethoxy)amino]-, (2S)- (9CI)
SQL 4
MF C42 H61 N5 O10

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN

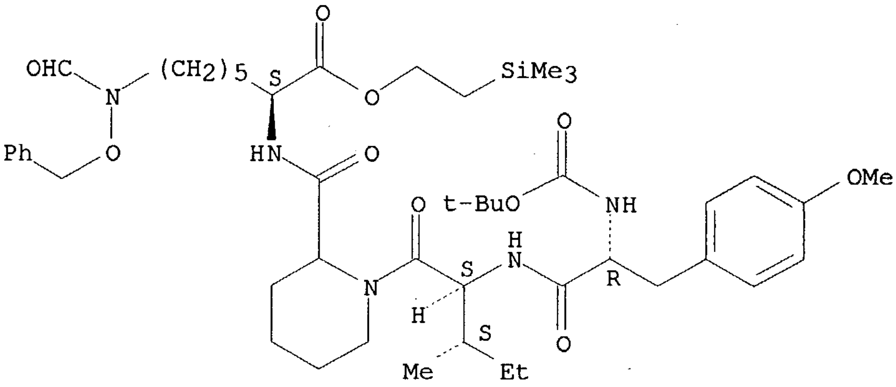
IN Heptanoic acid, N-[(1,1-dimethylethoxy)carbonyl]-O-methyl-D-tyrosyl-L-isoleucyl-2-piperidinecarbonyl-2-amino-7-[formyl(phenylmethoxy)amino]-, 2-(trimethylsilyl)ethyl ester, (2S)- (9CI)

SQL 4

MF C47 H73 N5 O10 Si

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



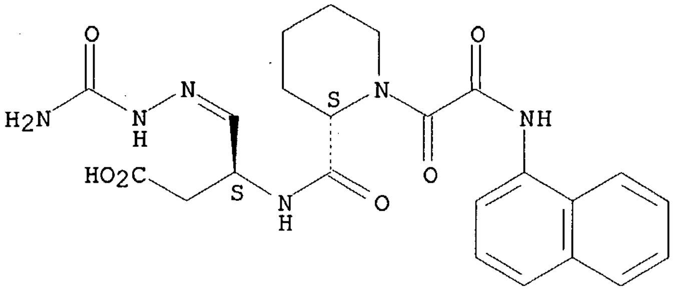
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 19 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN

IN Butanoic acid, 4-[(aminocarbonyl)hydrazono]-3-[[[(2S)-1-[(1-naphthalenylamino)oxoacetyl]-2-piperidinyl]carbonyl]amino]-, (3S)- (9CI)

MF C23 H26 N6 O6

Absolute stereochemistry.
Double bond geometry unknown.

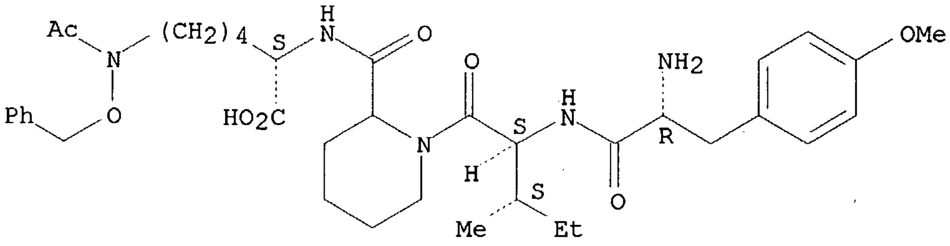


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```
L3   19 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN   L-Lysine, O-methyl-D-tyrosyl-L-isoleucyl-2-piperidinecarbonyl-N6-acetyl-N6-
     (phenylmethoxy)- (9CI)
SQL  4
MF   C37 H53 N5 O8
```

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

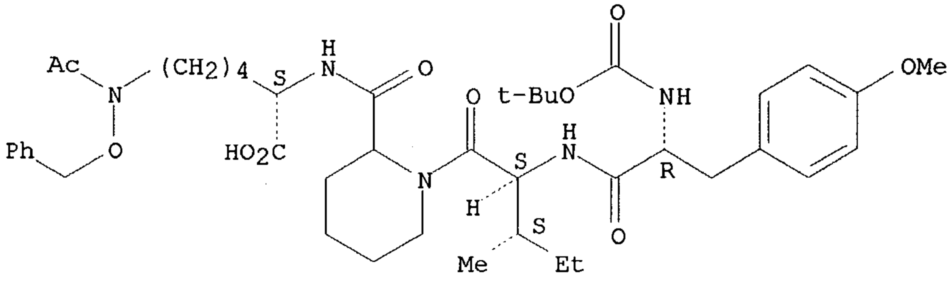


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```
L3   19 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN   L-Lysine, N-[(1,1-dimethylethoxy)carbonyl]-O-methyl-D-tyrosyl-L-isoleucyl-
     2-piperidinecarbonyl-N6-acetyl-N6-(phenylmethoxy)- (9CI)
SQL  4
MF   C42 H61 N5 O10
```

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

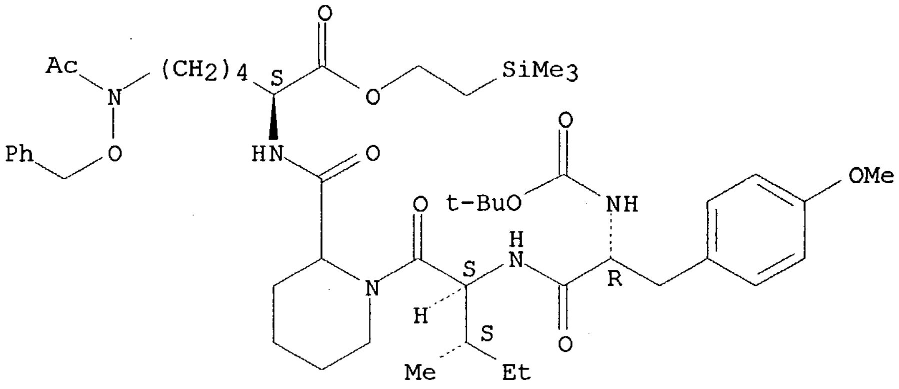


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```
L3   19 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN   L-Lysine, N-[(1,1-dimethylethoxy)carbonyl]-O-methyl-D-tyrosyl-L-isoleucyl-
     2-piperidinecarbonyl-N6-acetyl-N6-(phenylmethoxy)-, 2-
     (trimethylsilyl)ethyl ester (9CI)
SQL  4
MF   C47 H73 N5 O10 Si
```

RELATED SEQUENCES AVAILABLE WITH SEQLINK

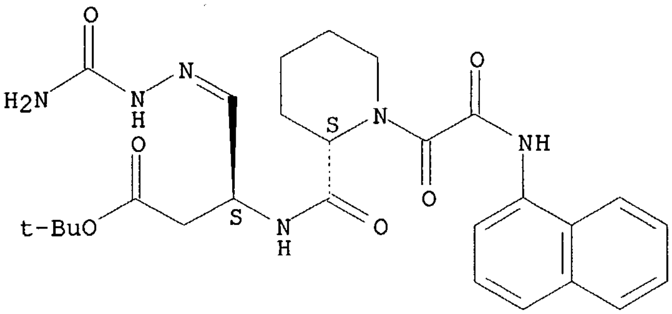
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

```
L3   19 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN   Butanoic acid, 4-[(aminocarbonyl)hydrazono]-3-[[[(2S)-1-[(1-
     naphthalenylamino)oxoacetyl]-2-piperidinyl]carbonyl]amino]-,
     1,1-dimethylethyl ester, (3S)- (9CI)
MF   C27 H34 N6 O6
```

Absolute stereochemistry.
Double bond geometry unknown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED